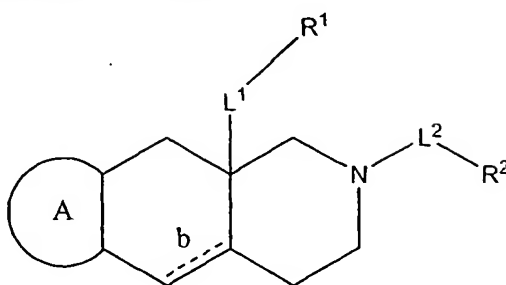


WHAT IS CLAIMED IS:

1 1. A compound having the formula:



(I)

2 wherein,

3 L¹ and L² are members independently selected from a bond, -O-, -S-, S(O)-,
4 -S(O₂)-, -C(O)-, -C(O)O-, -C(O)NH-, substituted or unsubstituted
5 alkylene, and substituted or unsubstituted heteroalkylene;
6 the dashed line b is optionally a bond;

7 the ring A is a member selected from substituted or unsubstituted 5 to 6

8 membered heterocycloalkyl, and substituted or unsubstituted heteroaryl;
9 R¹ is a member selected from hydrogen, substituted or unsubstituted alkyl,

10 substituted or unsubstituted heteroalkyl, substituted or unsubstituted
11 cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or
12 unsubstituted aryl, substituted or unsubstituted heteroaryl, -OR^{1A},
13 -NR^{1C}R^{1D}, -C(O)NR^{1C}R^{1D}, -C(O)OR^{1A}, wherein
14 R^{1A} is a member selected from hydrogen, substituted or unsubstituted

15 alkyl, substituted or unsubstituted heteroalkyl, substituted or
16 unsubstituted cycloalkyl, substituted or unsubstituted
17 heterocycloalkyl, substituted or unsubstituted aryl, and substituted or
18 unsubstituted heteroaryl;
19 R^{1C} and R^{1D} are members independently selected from substituted or

20 unsubstituted alkyl, substituted or unsubstituted heteroalkyl,
21 substituted or unsubstituted cycloalkyl, substituted or unsubstituted
22 heterocycloalkyl, substituted or unsubstituted aryl, and substituted or
23 unsubstituted heteroaryl,
24 wherein R^{1C} and R^{1D} are optionally joined to form a substituted or

25 unsubstituted ring with the nitrogen to which they are attached,
26

THIS PAGE BLANK (USPTO)

27 wherein said ring optionally comprises an additional ring
28 nitrogen, and
29 R^2 is a member selected from substituted or unsubstituted alkyl, substituted
30 or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl,
31 substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted
32 aryl, substituted or unsubstituted heteroaryl, $-S(O_2)R^{2A}$, $-S(O_2)NR^{2B}R^{2C}$,
33 and $=NOR^{2D}$, wherein
34 R^{2A} , R^{2B} , R^{2C} , and R^{2D} are members independently selected from
35 substituted or unsubstituted alkyl, substituted or unsubstituted
36 heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or
37 unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and
38 substituted or unsubstituted heteroaryl.

1 2. The compound of claim 1, wherein A is a member selected from:
2 unsubstituted 5 to 6 membered heterocycloalkyl comprising at least one
3 heteroatom selected from N, O and S;
4 substituted 5 to 6 membered heterocycloalkyl comprising 1 to 3 substituents
5 and at least one ring heteroatom selected from N, O and S;
6 unsubstituted aryl comprising at least one heteroatom selected from N, O and
7 S; and
8 substituted aryl comprising 1 to 3 substituents and at least one ring
9 heteroatom selected from N, O and S.

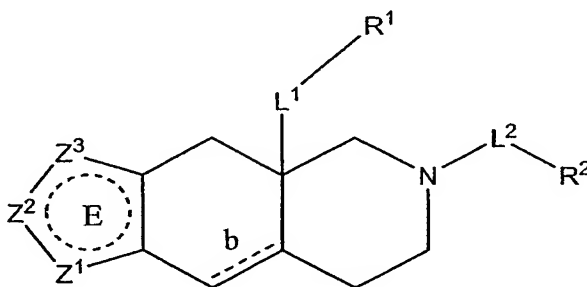
1 3. The compound of claim 1, wherein A is a member selected from
2 substituted or unsubstituted pyrrolidinyl, substituted or unsubstituted pyrrolyl, substituted or
3 unsubstituted pyrazolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted
4 furanyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted isoxazolyl,
5 substituted or unsubstituted thienyl, substituted or unsubstituted thiazolyl, substituted or
6 unsubstituted isothiazolyl, substituted or unsubstituted pyridinyl, substituted or
7 unsubstituted pyrimidinyl, and substituted or unsubstituted pyrazinyl.

1 4. The compound of claim 1, wherein A is a substituted or unsubstituted
2 pyrazolyl.

5. The compound of claim 1, wherein A is substituted with a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aryl, $-NR^{3A}R^{3B}$, and $-OR^{3C}$, wherein R^{3A} and R^{3B} are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted heterocycloalkyl, and substituted or unsubstituted heteroaryl, wherein R^{3A} and R^{3B} are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached, wherein said ring optionally comprises an additional ring heteroatom, and R^{3C} is a member selected from substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

6. The compound of claim 5, wherein A is substituted with a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

7. The compound of claim 1 having the formula



(II)

wherein,

the dashed ring represents unsaturated, partially saturated, or fully saturated bonds within ring E;

Z^1 is a member selected from $-NR^5$ -, $=N$ -, $-O$ -, and $-S$ -, wherein

R^5 is a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted

heterocycloalkyl, substituted or unsubstituted heteroaryl, and substituted or unsubstituted aryl;

Z^2 is a member selected from $-CR^{6A}R^{6B}-$, $=CR^{6A}-$, $-C(O)-$, $-NR^{6C}-$, $=N-$, $-O-$, $-S-$, $-CR^{6A}R^{6B}-NR^{6C}-$, $=CR^{6A}-NR^{6C}-$, $-CR^{6A}=N-$, $-CR^{6A}R^{6B}-N=$, and $=CR^{6A}-N=$, wherein

R^{6C} is a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl,

R^{6A} and R^{6B} are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted aryl, $-NR^{6A1}R^{6A2}$, and $-OR^{6A3}$, wherein

R^{6A1} and R^{6A2} are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein

R^{6A1} and R^{6A2} are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached, wherein said ring optionally comprises an additional ring heteroatom, and

R^{6A3} is a member selected from substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl,

wherein R^{6A} and R^{6C} are optionally joined together to form a substituted or unsubstituted ring, wherein said ring optionally comprises an additional ring heteroatom;

Z^3 is a member selected from $-CR^{7A}R^{7B}-$, $=CR^{7A}-$, $-C(O)-$, $-NR^{7C}-$, $=N-$, $-O-$, and $-S-$, wherein

43 R^{7C} is a member selected from hydrogen, substituted or unsubstituted
44 alkyl, substituted or unsubstituted heteroaryl, and substituted or -
45 unsubstituted aryl,
46 R^{7A} and R^{7B} are independently selected from hydrogen, substituted or
47 unsubstituted alkyl, substituted or unsubstituted heteroaryl,
48 substituted or unsubstituted aryl, $-NR^{7A1}R^{7A2}$, and $-OR^{7A3}$, wherein
49 R^{7A1} and R^{7A2} are members independently selected from hydrogen,
50 substituted or unsubstituted alkyl, substituted or unsubstituted
51 heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or
52 unsubstituted heterocycloalkyl, substituted or unsubstituted aryl,
53 and substituted or unsubstituted heteroaryl, wherein
54 R^{7A1} and R^{7A2} are optionally joined to form a substituted or
55 unsubstituted ring with the nitrogen to which they are
56 attached, wherein said ring optionally comprises an additional
57 ring heteroatom, and
58 R^{7A3} is a member selected from substituted or unsubstituted alkyl,
59 substituted or unsubstituted heteroalkyl, substituted or
60 unsubstituted cycloalkyl, substituted or unsubstituted
61 heterocycloalkyl, substituted or unsubstituted aryl, and substituted
62 or unsubstituted heteroaryl;
63 wherein R^5 is optionally joined with R^{6A} or R^{6C} to form a substituted or
64 unsubstituted ring, wherein said ring optionally comprises an additional
65 ring heteroatom;
66 wherein R^{7A} is optionally joined with R^{6A} or R^{6C} to form a substituted or
67 unsubstituted ring, wherein said ring optionally comprises an additional
68 ring heteroatom; and
69 wherein R^{7C} is optionally joined with R^{6A} or R^{6C} to form a substituted or
70 unsubstituted ring, wherein said ring optionally comprises an additional
71 ring heteroatom.

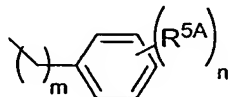
1 8. The compound of claim 7, wherein
2 Z^1 is $-NR^5-$;
3 Z^2 is $=N-$; and
4 Z^3 is $=CR^{7A}-$.

9. The compound of claim 8, wherein

R^{7A} is hydrogen; and

R^5 is a member selected from hydrogen-substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted arylalkyl and substituted or unsubstituted heteroarylalkyl.

10. The compound of claim 7, wherein R^5 has the formula:



(VI)

wherein,

R^{5A} is a member selected from hydrogen, halogen, $-OR^{5A1}$, $-NR^{5A2}R^{5A3}$, $-S(O_2)NR^{5A2}R^{5A3}$, $-CN$, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, wherein

R^{5A1} is a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl, and

R^{5A2} and R^{5A3} are members independently selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

m is an integer from 0 to 10; and

n is an integer from 1 to 5.

11. The compound of claim 10, wherein

n is 1;

m is 0 or 1; and

R^{5A1} , R^{5A2} and R^{5A3} are hydrogen.

12. The compound of claim 7, wherein

Z^1 is $-NR^5-$;

Z^2 is $=CR^{6A}-$; and

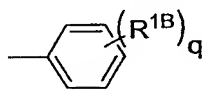
Z^3 is $=N-$.

13. The compound of claim 12, wherein R^5 is a member selected from hydrogen and substituted or unsubstituted aryl.

14. The compound of claim 8, wherein R^5 and R^{7A} are hydrogen and b is a bond.

15. The compound of claim 1, wherein R^1 is a member selected from substituted or unsubstituted (C_1 - C_{10}) alkyl, substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted (C_3 - C_7) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

16. The compound of claim 1, wherein R^1 has the formula:



(III)

wherein,

q is an integer selected from 1 to 5;

R^{1B} is a member selected from hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, $-NR^{1B1}R^{1B2}$, $-OR^{1B3}$, and $-C(O)NR^{1B4}R^{1B5}$ wherein

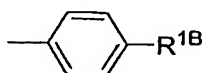
R^{1B1} and R^{1B2} are members independently selected from hydrogen, substituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted heterocycloalkyl, and substituted or unsubstituted heteroaryl, wherein R^{1B1} and R^{1B2} are optionally joined to form a substituted or unsubstituted ring with the nitrogen to which they are attached, wherein said ring optionally comprises an additional ring heteroatom, and

R^{1B3} is a member selected from hydrogen,

substituted or unsubstituted heteroalkyl comprising a nitrogen,
 substituted or unsubstituted heterocycloalkyl comprising a ring
 nitrogen,
 substituted or unsubstituted heteroaryl comprising a ring nitrogen,
 and
 alkyl substituted with a substituted or unsubstituted heteroalkyl
 comprising a nitrogen, substituted or unsubstituted
 heterocycloalkyl comprising a ring nitrogen, and substituted or
 unsubstituted heteroaryl comprising a ring nitrogen; and
 R^{1B4} and R^{1B5} are members independently selected from
 hydrogen,
 substituted or unsubstituted heteroalkyl comprising a nitrogen,
 substituted or unsubstituted heterocycloalkyl comprising a ring nitrogen,
 substituted or unsubstituted heteroaryl comprising a ring nitrogen, and
 alkyl substituted with a substituted or unsubstituted heteroalkyl
 comprising a nitrogen, substituted or unsubstituted heterocycloalkyl
 comprising a ring nitrogen, and substituted or unsubstituted
 heteroaryl comprising a ring nitrogen, wherein
 R^{1B4} and R^{1B5} are optionally joined to form a substituted or
 unsubstituted ring with the nitrogen to which they are attached,
 wherein said ring optionally comprises a heteroatom.

17. The compound of claim 16, wherein
 q is an integer selected from 1 to 3;
 R^{1B} is a member selected from hydrogen, substituted alkyl, substituted or
 unsubstituted heteroalkyl, substituted cycloalkyl, substituted or
 unsubstituted heterocycloalkyl, substituted aryl, and substituted or
 unsubstituted heteroaryl.

18. The compound of claim 16, wherein R^1 has the formula:



(IV)

wherein,

R^{1B} is a member selected from hydrogen, $-NR^{1B1}R^{1B2}$, $-OR^{1B3}$, substituted or
 unsubstituted (C_1 - C_{10}) alkyl, substituted or unsubstituted 2-10

6 membered heteroalkyl, substituted or unsubstituted (C₃-C₇)cycloalkyl,
7 substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted
8 or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

1 **19.** The compound of claim 16, wherein R^{1B} is a member selected from
2 -C(O)NR^{1B4}R^{1B5} and substituted or unsubstituted heteroaryl comprising a ring nitrogen,
3 wherein

4 R^{1B4} and R^{1B5} are members independently selected from

5 hydrogen,

6 substituted or unsubstituted heteroalkyl comprising a nitrogen,

7 substituted or unsubstituted heterocycloalkyl comprising a ring nitrogen,

8 substituted or unsubstituted heteroaryl comprising a ring nitrogen, and

9 alkyl substituted with a substituted or unsubstituted heteroalkyl

10 comprising a nitrogen, substituted or unsubstituted heterocycloalkyl

11 comprising a ring nitrogen, and substituted or unsubstituted

12 heteroaryl comprising a ring nitrogen, wherein

13 R^{1B4} and R^{1B5} are optionally joined to form a substituted or

14 unsubstituted ring with the nitrogen to which they are attached,

15 wherein said ring optionally comprises a heteroatom.

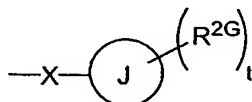
1 **20.** The compound of claim 19, wherein R^{1B1}, R^{1B2}, R^{1B3}, R^{1B4} and
2 R^{1B5} are members independently selected from hydrogen and a substituted or unsubstituted
3 ring, wherein said ring optionally comprises a nitrogen atom and at least one additional ring
4 heteroatom.

1 **21.** The compound of claim 1, wherein R² is a member selected from
2 substituted or unsubstituted (C₁-C₁₀) alkyl, substituted or unsubstituted 2-10 membered
3 heteroalkyl, substituted or unsubstituted (C₃-C₇) cycloalkyl, substituted or unsubstituted 3-7
4 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or
5 unsubstituted heteroaryl.

1 **22.** The compound of claim 1, R^{2A}, R^{2B}, R^{2C}, and R^{2D} are members
2 independently selected from substituted or unsubstituted (C₁-C₁₀) alkyl, substituted or
3 unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted (C₃-C₇) cycloalkyl,

substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl.

23. The compound of claim 1, R^2 has the formula:



(V)

wherein,

R^{2G} is a member selected from hydrogen, halogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

J is a substituted or unsubstituted ring selected from substituted or unsubstituted (C_3 - C_7) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

t is an integer from 0 to 5; and

X is a member selected from a bond, $-S(O_2)-$, and $-S(O_2)N^{2I}-$, wherein

R^{2I} is a member selected from hydrogen, substituted or unsubstituted alkyl, and substituted or unsubstituted heteroalkyl.

24. The compound of claim 23, wherein

R^{2G} is a member selected from hydrogen, substituted or unsubstituted (C_1 - C_{10}) alkyl, substituted or unsubstituted 2-10 membered heteroalkyl, substituted or unsubstituted (C_3 - C_7) cycloalkyl, substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

J is a substituted or unsubstituted ring selected from substituted or unsubstituted 3-7 membered heterocycloalkyl, substituted or unsubstituted aryl, and substituted or unsubstituted heteroaryl;

t is 1; and

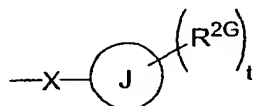
R^{2I} is hydrogen.

1 25. The compound of claim 23, wherein R^{2G} is a branched or unbranched
2 (C₁-C₁₀)alkyl.

1 26. The compound of claim 23, wherein X is -S(O₂)-.

1 27. The compound of claim 1, wherein L¹ and L² are members
2 independently selected from a bond and unsubstituted (C₁-C₆) alkylene.

1 28. The compound of claim 1, wherein
2 the dashed line b is a bond;
3 R¹ is substituted or unsubstituted benzyl; and
4 R² has the formula:



(V)

6 wherein,

7 R^{2G} is a member selected from hydrogen, halogen, substituted or
8 unsubstituted alkyl, substituted or unsubstituted heteroalkyl,
9 substituted or unsubstituted cycloalkyl, substituted or
10 unsubstituted heterocycloalkyl, substituted or unsubstituted
11 aryl, and substituted or unsubstituted heteroaryl,

12 J is a substituted or unsubstituted ring selected from substituted or
13 unsubstituted (C₃-C₇) cycloalkyl, substituted or unsubstituted
14 3-7 membered heterocycloalkyl, substituted or unsubstituted
15 aryl, and substituted or unsubstituted heteroaryl,

16 t is an integer from 0 to 5, and

17 X is -S(O₂)-;

18 L¹ is a bond; and

19 L² is a bond.

1 29. A method of treating a disorder or condition through modulating a
2 glucocorticoid receptor, the method comprising administering to a subject in need of such
3 treatment, an effective amount of the compound of one of claims 1-28.

1 30. A method of treating a disorder or condition through antagonizing a
2 glucocorticoid receptor, the method comprising administering to a subject in need of such
3 treatment, an effective amount of the compound of one of claims 1-28.

1 31. A method of modulating a glucocorticoid receptor including the steps
2 of contacting a glucocorticoid receptor with an effective amount of the compound of one of
3 claims 1-28 and detecting a change in the activity of the glucocorticoid receptor.

1 32. A pharmaceutical composition comprising a pharmaceutically
2 acceptable excipient and the compound of one of claims 1-28.

THIS PAGE BLANK (USPTO)